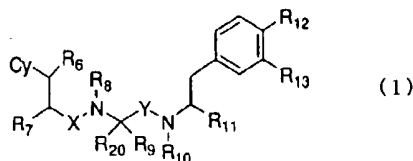


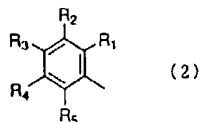
CLAIMS

1. A compound of Formula (1):



5 wherein:

Cy is a group of Formula (2):



an optionally substituted heterocyclic ring, C₃-cycloalkyl or phenyl;

10 R₁, R₂, R₃, R₄ and R₅ are hydrogen, halogen, hydroxy, amino, trifluoromethyl or nitrile and at least one of R₁, R₂, R₃, R₄ and R₅ is halogen, trifluoromethyl or nitrile;

R₆ is hydrogen, optionally substituted straight-chained or branched C₁₋₃alkyl, amino or hydroxy;

15 R₇ is hydrogen, optionally substituted straight-chained or branched C₁₋₃alkyl, optionally substituted amino or hydroxy;

R₈ is hydrogen, methyl or ethyl;

R₉ is optionally substituted straight-chained or
20 branched C₁₋₆alkyl, optionally substituted straight-chained or branched C₂₋₆alkenyl, optionally substituted straight-chained or branched C₂₋₆alkynyl, C₃-cycloalkyl or

optionally substituted phenyl;

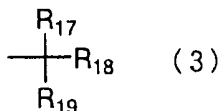
R_{20} is hydrogen or straight-chained or branched C_{1-3} alkyl or R_9 and R_{20} may together form C_{3-7} cycloalkyl;

R_{10} is hydrogen or straight-chained or branched C_{1-3} alkyl;

R_{11} is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, $-CO-N(R_{14})R_{15}$, carboxyl or an optionally substituted heterocyclic ring;

R_{12} is hydroxy or $-OR_{16}$;

10 R_{13} is hydrogen, straight-chained or branched C_{1-6} alkyl, straight-chained or branched C_{2-6} alkenyl, straight-chained or branched C_{2-6} alkynyl or a group of Formula (3):



15 R_{14} and R_{15} , which may be the same or different, are hydrogen, optionally substituted straight-chained or branched C_{1-4} alkyl, C_{3-7} cycloalkyl, straight-chained or branched C_{1-4} alkyloxy, straight-chained or branched C_{1-4} alkylsulfonyl or a heterocyclic ring, or R_{14} and R_{15} , as
20 $-N(R_{14})R_{15}$, form optionally substituted 3- to 7-membered cyclic amine;

R_{16} is straight-chained C_{1-4} alkyl;

R_{17} is hydrogen or methyl;

R_{18} and R_{19} together form cycloalkyl or

25 C_{3-7} cycloalkenyl;

X is carbonyl or methylene;

Y is carbonyl or methylene;

provided that

when Cy is 3-indolyl,

(i) R₁₁ is an optionally substituted

5 heterocyclic ring; or

(ii) R₆ is hydrogen, R₇ is amino, R₈ is methyl,

R₉ is isopropyl, R₂₀ is hydrogen, R₁₀ is methyl, R₁₁ is
carbamoyl, R₁₂ is hydroxy, R₁₃ is tert-butyl, X is carbonyl
and Y is carbonyl, and

10 when Cy is cyclohexyl or phenyl, R₁₁ is an optionally
substituted heterocyclic ring;

or a hydrate or pharmaceutically acceptable salt thereof.

2. The compound according to claim 1,

wherein Cy in Formula (1) is a group of Formula (2);

15 or a hydrate or pharmaceutically acceptable salt thereof.

3. The compound according to claim 1,

wherein Cy in Formula (1) is a group of Formula (2) in
which at least one of R₁, R₂, R₃, R₄ and R₅ is halogen and
the others are hydrogen or hydroxy;

20 or a hydrate or pharmaceutically acceptable salt thereof.

4. The compound according to claim 1,

wherein Cy in Formula (1) is a group of Formula (2) in
which R₃ is halogen or R₂ and R₃ are the same kind of
halogen;

25 or a hydrate or pharmaceutically acceptable salt thereof.

5. The compound according to claim 1,

wherein Cy in Formula (1) is a group of Formula (2) in
which R₃ is halogen and R₁, R₂, R₄ and R₅ are hydrogen, or

R_2 and R_3 are the same kind of halogen and R_1 , R_4 and R_5 are hydrogen;

or a hydrate or pharmaceutically acceptable salt thereof.

6. The compound according to claim 1,

5 wherein Cy in Formula (1) is a group of Formula (2) in which at least one of R₁, R₂, R₃, R₄ and R₅ is trifluoromethyl and the others are hydrogen, halogen or hydroxy;

or a hydrate or pharmaceutically acceptable salt thereof.

10 7. The compound according to claim 1,
wherein Cy in Formula (1) is a group of Formula (2) in
which at least one of R₁, R₂, R₃, R₄ and R₅ is nitrile and
the others are hydrogen, halogen or hydroxy;
or a hydrate or pharmaceutically acceptable salt thereof.

15 8. The compound according to claim 1,
wherein Cy in Formula (1) is a group of Formula (2) in
which R₃ is trifluoromethyl;
or a hydrate or pharmaceutically acceptable salt thereof.

9. The compound according to claim 1,

20 wherein Cy in Formula (1) is a group of Formula (2) in
which R₃ is nitrile;
or a hydrate or pharmaceutically acceptable salt thereof.

10. The compound according to claim 1,

wherein Cy in Formula (1) is an optionally substituted

25 heterocyclic ring provided that when Cy is 3-indolyl,

(i) R₁₁ is an optionally substituted heterocyclic ring; or

(ii) R_6 is hydrogen, R_7 is amino, R_8 is methyl, R_9 is

isopropyl, R₂₀ is hydrogen, R₁₀ is methyl, R₁₁ is carbamoyl, R₁₂ is hydroxy, R₁₃ is tert-butyl, X is carbonyl and Y is carbonyl;

or a hydrate or pharmaceutically acceptable salt thereof.

- 5 11. The compound according to claim 1,
wherein in Formula (1), Cy is C₃₋₇cycloalkyl provided that
when Cy is cyclohexyl, R₁₁ is an optionally substituted
heterocyclic ring;

or a hydrate or pharmaceutically acceptable salt thereof.

- 10 12. The compound according to claim 1,
wherein in Formula (1), Cy is phenyl and R₁₁ is an
optionally substituted heterocyclic ring;

or a hydrate or pharmaceutically acceptable salt thereof.

13. The compound according to any one of claims 1-12,
15 wherein R₆ in Formula (1) is hydrogen or methyl;
or a hydrate or pharmaceutically acceptable salt thereof.

14. The compound according to any one of claims 1-13,
wherein R₇ in Formula (1) is hydrogen or optionally
substituted amino;

- 20 or a hydrate or pharmaceutically acceptable salt thereof.

15. The compound according to any one of claims 1-14,
wherein R₈ in Formula (1) is hydrogen or methyl;
or a hydrate or pharmaceutically acceptable salt thereof.

16. The compound according to any one of claims 1-15,
25 wherein R₉ in Formula (1) is methyl, isopropyl, isobutyl,
sec-butyl, tert-butyl, 3-pentyl, neopentyl, cyclohexyl,
phenyl, benzyl, para-hydroxybenzyl, cyclohexylmethyl or
para-fluorobenzyl;

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- or a hydrate or pharmaceutically acceptable salt thereof.
17. The compound according to any one of claims 1-16,
wherein R_{20} in Formula (1) is hydrogen or methyl;
or a hydrate or pharmaceutically acceptable salt thereof.
- 5 18. The compound according to any one of claims 1-17,
wherein R_{10} in Formula (1) is hydrogen or methyl;
or a hydrate or pharmaceutically acceptable salt thereof.
19. The compound according to any one of claims 1-18,
wherein R_{11} in Formula (1) is methyl, hydroxymethyl,
10 carbamoylmethyl, methanesulfonylmethyl, ureidemethyl,
sulfamoylaminomethyl, methanesulfonylaminomethyl,
carbamoyl, ethylcarbamoyl, n-propylcarbamoyl,
isopropylcarbamoyl, cyclopropylcarbamoyl,
tertbutylcarbamoyl, 2-pyridylcarbamoyl, methoxycarbamoyl,
15 2-thiazolyl, 1,3,4-oxadiazol-2-yl, 1,2,4-oxadiazol-5-yl,
1,3,4-triazol-2-yl, 6-methyl-4-pyrimidinon-2-yl,
methylcarbamoyl, methanesulfonylmethylcarbamoyl,
methoxymethylcarbamoyl, 1-morpholinylcarbonyl, 4-
carboxymethyl-1-piperazinecarbonyl, 4-
20 ethoxycarbonylmethyl-1-piperazinecarbonyl or 4-
methylsulfonyl-1-piperazinecarbonyl,
or a hydrate or pharmaceutically acceptable salt thereof.
20. The compound according to any one of claims 1-19,
wherein R_{12} in Formula (1) is hydroxy;
25 or a hydrate or pharmaceutically acceptable salt thereof.
21. The compound according to any one of claims 1-20,
wherein R_{13} in Formula (1) is isopropyl, tert-butyl (tBu),
1,1-dimethylpropyl or 1,1-dimethyl-2-propenyl;

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~~or a hydrate or pharmaceutically acceptable salt thereof~~

22. The compound according to claim 1,

wherein in Formula (1)

Cy is a group of Formula (2) in which at least one of R₁,

5 R₂, R₃, R₄ and R₅ is halogen and the others are hydrogen or hydroxy;

R₆ is hydrogen or methyl;

R₇ is hydrogen or optionally substituted amino;

R₈ is hydrogen or methyl;

10 R₉ is methyl, isopropyl, isobutyl, sec-butyl, tert-butyl, 3-pentyl, neopentyl, cyclohexyl, phenyl, benzyl, para-hydroxybenzyl, para-fluorobenzyl or cyclohexylmethyl;

R₂₀ is hydrogen;

R₁₀ is hydrogen or methyl;

15 R₁₁ is methyl, hydroxymethyl, carbamoylmethyl, methanesulfonylmethyl, ureidemethyl, sulfamoylaminomethyl, methanesulfonylaminomethyl, carbamoyl, methylcarbamoyl, ethylcarbamoyl, n-propylcarbamoyl, isopropylcarbamoyl, cyclopropylcarbamoyl, tert-butylcarbamoyl, 2-

20 pyridylcarbamoyl, methanesulfonylmethylcarbamoyl, methoxymethylcarbamoyl, methoxycarbamoyl, 1-morpholinylcarbonyl, 4-carboxymethyl-1-piperazinecarbonyl, 4-ethoxycarbonylmethyl-1-piperazinecarbonyl, 4-methylsulfonyl-1-piperazinecarbonyl, 2-thiazolyl, 1,3,4-oxadiazol-2-yl, 1,2,4-oxadiazol-5-yl, 1,3,4-triazol-2-yl
25 or 6-methyl-4-pyrimidinon-2-yl;

R₁₂ is hydroxy;

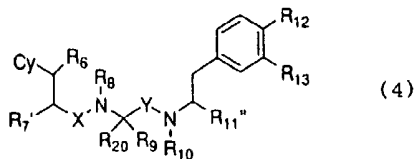
R₁₃ is isopropyl, tert-butyl (tBu), 1,1-dimethylpropyl or

1,1-dimethyl-2-propenyl;

or a hydrate or pharmaceutically acceptable salt thereof.

23. The compound according to claim 1 which is selected from the group of compounds consisting of Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(4-Cl)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(3,4-F₂)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(3-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHOMe, 2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyric acid 2-(3-tertbutyl-4-hydroxyphenyl)-1-(2-pyridylcarbamoyl)ethylamide, N-(2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyrylamino)-3-(3-tBu-4-hydroxyphenyl)propyl)urea, N-(2-(2-(2-amino-3-(4-fluorophenyl)propanoyl-N-methylamino)-3-methylbutyrylamino)-3-(3-tertbutyl-4-hydroxyphenyl)propyl)sulfamide, N-[2-(3-tertbutyl-4-hydroxyphenyl)-1-(methanesulfonylaminomethyl)ethyl]-2-[N-(4-fluorophenylalanyloyl)methylamino]-3-methylbutanamide, 2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyric acid 2-(3-t-butyl-4-hydroxyphenyl)-1-carbamidemethylethylamide, 2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyric acid 2-(3-t-butyl-4-hydroxyphenyl)-1-methanesulfonylmethylethylamide, 2-(2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyrylamino)-3-(3-tBu-4-hydroxyphenyl)propanol, 2-(1-(2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyrylamino)-2-(3-tertbutyl-4-

hydroxyphenyl)ethyl)-6-methyl-4-pyrimidinone, 2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyric acid 2-(3-t-butyl-4-hydroxyphenyl)-1-(1,3,4-oxadiazol-2-yl)ethylamide, 2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyric acid 2-(3-t-butyl-4-hydroxyphenyl)-1-(1,2,4-oxadiazol-5-yl)ethylamide, 2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyric acid 2-(3-tertbutyl-4-hydroxyphenyl)-1-(thiazol-2-yl)ethylamide, 2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyric acid 2-(3-t-butyl-4-hydroxyphenyl)-1-(1,3,4-triazol-2-yl)ethylamide, Tyr(2-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Tyr(3-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NH₂, N-Me-Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NH₂, N-Et-Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NH₂, Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NHMe, N-Me-Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NHMe, N-Et-Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NHMe, N-Me-Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, N-Et-Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHMe, N-Me-Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHMe, N-Et-Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHMe, Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NH₂, N-Me-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NH₂, N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NH₂, Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHMe, N-Me-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHMe, N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHMe, Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NHtBu, Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHCH₂SO₂CH₃, Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NH₂Et, N-Me-Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NH₂Et, N-Et-



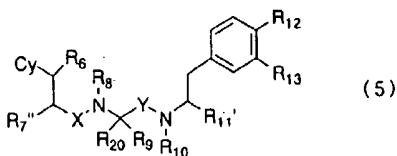
wherein

Cy, R₆, R₈, R₉, R₂₀, R₁₀, R₁₂, R₁₃, X and Y are as defined in claim 1;

5 R₇' is hydrogen, straight-chained or branched C₁₋₃alkyl optionally having at least one protected substituent, amino optionally having at least one protected substituent or protected hydroxy; and

R₁₁" is hydrogen, optionally substituted straight-chained or branched C₁₋₃alkyl, -CO-N(R₁₄)R₁₅, wherein R₁₄ and R₁₅ are as defined in claim 1, carboxyl, straight-chained or branched C₁₋₃alkyl having a protected amino or an optionally substituted heterocyclic ring; or a hydrate or pharmaceutically acceptable salt thereof.

15 29. A compound of Formula (5):



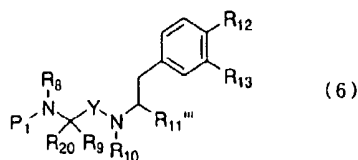
wherein:

Cy, R₆, R₈, R₉, R₂₀, R₁₀, R₁₂, R₁₃, X and Y are as defined in claim 1;

20 R₇" is hydrogen, straight-chained or branched C₁₋₃alkyl optionally having at least one optionally protected substituent, amino optionally having at least one optionally protected substituent or optionally

protected hydroxy; and

- R_{11}' is hydrogen, straight-chained or branched C_{1-3} alkyl optionally having at least one protected substituent, $-CO-N(R_{14})R_{15}$ wherein R_{14} and R_{15} are as defined in claim 1, carboxyl or an optionally substituted heterocyclic ring; or a hydrate or pharmaceutically acceptable salt thereof.
30. A compound of Formula (6):



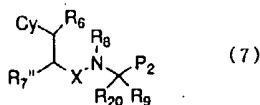
- 10 wherein:

R_8 , R_9 , R_{20} , R_{10} , R_{12} , R_{13} and Y are as defined in claim 1;

P_1 is hydrogen or a protecting group of amine; and

- R_{11}''' is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, $-CO-N(R_{14})R_{15}$ wherein R_{14} and R_{15} are as defined in claim 1, carboxyl, straight-chained or branched C_{1-3} alkyl having protected amino or an optionally substituted heterocyclic ring; or a hydrate or pharmaceutically acceptable salt thereof.

- 20 31. A compound of Formula (7):



wherein:

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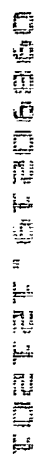
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